Mark Ledeboer et al.

Application No.:

10/005,133

AMENDMENTS TO THE CLAIMS

Please replace all prior versions and listings of claims with the amended claims as follows:

1. (Currently amended) A compound of formula I:

I

or a pharmaceutically acceptable salt thereof, wherein:

 R^1 is selected from hydrogen, CONH₂, $T_{(n)}$ -R, or $T_{(n)}$ -Ar¹;

R is an aliphatic or substituted aliphatic group;

n is zero or one;

T is C(=O), CO₂, CONH, S(O)₂, S(O)₂NH, COCH₂ or CH₂;

R² is selected from hydrogen, -R, -CH₂OR, -CH₂OH, -CH=O, -CH₂SR, -CH₂S(O)₂R, -CH₂(C=O)R, -CH₂CO₂R, -CH₂CO₂H, -CH₂CN, -CH₂NHR, -CH₂N(R)₂, -CH=N-OR, -CH=NNHR, -CH=NN(R)₂, -CH=NNHCOR, -CH=NNHCO₂R, -CH=NNHSO₂R, -aryl, -CH₂(aryl), -CH₂NH₂, -CH₂NHCOR, -CH₂NHCONHR, -CH₂NHCON(R)₂, -CH₂NRCOR, -CH₂NHCO₂R, -CH₂CONHR, -CH₂CON(R)₂, -CH₂SO₂NH₂, -CH₂(heterocyclyl), or -(heterocyclyl);

R³ is selected from hydrogen, -R, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, or aryloxyalkyl;

G is hydrogen or C_{1.3} alkyl;

wherein the H of Q-NH is optionally replaced by R, COR, S(O)₂R, or CO₂R; A is N;

Mark Ledeboer et al.

Application No.:

- Ar¹ is aryl, substituted aryl, heterocyclyl or substituted heterocyclyl, wherein Ar¹ is optionally fused to a partially unsaturated or fully unsaturated five to seven membered ring containing zero to three heteroatoms;
- wherein each substitutable carbon atom in Ar¹, including the fused ring when present, is optionally and independently substituted by halo, R, OR, SR, OH, NO₂, CN, NH₂, NHR, N(R)₂, NHCOR, NHCONHR, NHCON(R)₂, NRCOR, NHCO₂R, CO₂R, CO₂H, COR, CONHR, CON(R)₂, S(O)₂R, SONH₂, S(O)R, SO₂NHR, or NHS(O)₂R, and wherein each saturated carbon in the fused ring is further optionally and independently substituted by =O, =S, =NNHR, =NNR₂, =N-OR, =NNHCOR, =NNHCO₂R, =NNHSO₂R, or =NR; and
- wherein each substitutable nitrogen atom in Ar¹ is optionally substituted by R, COR, S(O)₂R, or CO₂R; [[,]]
- wherein an unsaturated carbon atom of an aryl group is optionally and independently substituted with a halogen, -R, -OR, -OH, -SH, -SR, acyloxy, phenyl (Ph), substituted Ph, -OPh, substituted -OPh, -NO₂, -CN, -NH₂, -NHR, -N(R)₂, -NHCOR, -NHCONHR, -NHCON(R)₂, -NRCOR, -NHCO₂R, -CO₂R, -CO₂H, -COR, -CONHR, -CON(R)₂, -S(O)₂R, -SONH₂, -S(O)R, -SO₂NHR or -NHS(O)₂R;
- wherein a saturated carbon of an aliphatic group or non-aromatic heterocyclic ring is optionally and independently substituted with a halogen, -R, -OR, -OH, -SH, -SR, acyloxy, Ph, substituted Ph, -OPh, substituted -OPh, -NO₂, -CN, -NH₂, -NHR, -N(R)₂, -NHCOR, -NHCONHR, -NHCON(R)₂, -NRCOR, -NHCO₂R, -CO₂R, -CO₂R, -CO₂H, -COR, -CONHR, -CON(R)₂, -S(O)₂R, -SONH₂, -S(O)₂R, -SO₂NHR, -NHS(O)₂R, =O, =S, =NNHR, =NNR₂, =N-OR, =NNHCOR, =NNHCO₂R, =NNHSO₂R or =NR; and
- wherein a substitutable nitrogen on an aromatic or non-aromatic heterocyclic ring is optionally and independently substituted with R, COR, S(O)₂R or CO₂R.

 provided that when G is hydrogen, and R³ is optionally substituted phenyl, then R³ is not hydrogen.

Mark Ledeboer et al.

Application No.:

- 2. (Currently amended) The compound of claim 1, wherein compound variables are selected from one or more of, or all of, the following groups:
 - (a) R¹ is selected from hydrogen, T_(n)-R, or T_(n)-Ar¹;
- (b) R² is selected from hydrogen, -R, -CH₂OR, CH₂OH, CH₂(heterocyclyl), -CH₂(substituted heterocyclyl), -(heterocyclyl), or -(substituted heterocyclyl);
- (c) R³ is selected from -R, heterocyclyl, heterocyclylalkyl, aryl, or aralkyl; and/or [[:]]
 - (d) G is hydrogen or methyl.
 - 3. (Currently amended) The compound of claim 2, wherein:
 - (a) R¹ is selected from hydrogen, T_(n)-R, or T_(n)-Ar¹;
- (b) R² is selected from hydrogen, -R, -CH₂OR, CH₂OH, -CH₂(aryl),
 -CH₂(heterocyclyl), -CH₂(substituted heterocyclyl), -(heterocyclyl), or -(substituted heterocyclyl);
- (c) R³ is selected from -R, heterocyclyl, heterocyclylalkyl, aryl, or aralkyl; and [[:]]
 - (d) G is hydrogen or methyl.
- 4. (Currently amended) The compound of claim 3, wherein G is hydrogen or methyl; R^1 is selected from phenyl, cyclohexyl, pyridyl, naphthyl, or quinolinyl; R^2 is selected from hydrogen, methyl, alkoxymethyl, benzyloxymethyl, or heterocyclylmethyl; and R^3 is phenyl or benzyl; wherein each R^1 - R^3 is optionally substituted.
- 5. (Currently amended) The compound of claim 3, wherein G is hydrogen or methyl; R¹ is phenyl or cyclohexyl; R² is methoxymethyl, methoxyethoxymethyl, ethoxymethyl, piperidin-1-ylmethyl, morpholin-4-ylmethyl, or tetrahydrofuran-3-ylmethyl; and R³ is phenyl or benzyl; wherein each R¹-R³ is optionally substituted.
- 6. (Previously amended) The compound of claim 1, the compound being selected from:

Mark Ledeboer et al.

Applicants:
Application No.:

No.	G	R ¹	R ²	\mathbb{R}^3
U-1	CH ₃	Phenyl	H	Ph
II-2	CH ₃	4-methoxy-phenyl	H	Ph
П-3	CH ₃	3,4-dimethoxy-phenyl	H	Ph
11-4	CH ₃	3,5-dimethoxy-phenyl	H	Ph
II-5	CH ₃	4-cyano-phenyl	Н	Ph
П-6	CH ₃	3-fluoro-phenyl	H	Ph
π-7	CH ₃	4-fluoro-phenyl	н	Ph
П-8	CH ₃	4-COCH ₃ -pheπyl	H	Ph
П-9	CH ₃	4-CONH ₂ -phenyl	н	Ph
П-10	CH ₃	4-SCH ₃ -phenyl	Н	Ph
П-11	CH ₃	3-OCH ₃ -phenyl	н	Ph
П-12	CH ₃	3,4,5-trimethoxy-phenyl	Н	Ph
П-13	CH₃	4-CO ₂ CH ₃ -phenyl	Н	Ph
П-14	CH ₃	4-SO ₂ CH ₃ -phenyl	Н	Ph
II-15	CH ₃	4-CO ₂ CH ₃ -phenyl	H	Ph
П-16	CH ₃	4-N(CH ₃) ₂ -phenyl	н	Ph
II-17	CH ₃	3-NO ₂ -phenyl	н	Ph
П-18	CH ₃	3-NHCOCH ₃ -phenyl	Н	Ph
II-19	CH ₃	3-NH ₂ -phenyl	Н	Ph
II-20	CH₃	4-NO ₂ -phenyl	н	· Ph
II-21	CH ₃	3-(CH ₂ CH ₂ CO ₂ H)-phenyl	H	Ph
II-22	CH ₃	3-(CH ₂ CO ₂ H)-phenyl	н	Ph
П-23	CH ₃	3-CH ₂ OH-phenyl	Н	4-CH ₃ -Ph
11-24	CH ₃	Phenyl	н	4-OMe-phenyl
II-25	CH ₃	4-methoxy-phenyl	Н	4-OMe-phenyl
П-26	CH ₃	3,4-dimethoxy-phenyl	Н	4-Cl-Ph
II-27	CH ₃	3,5-dimethoxy-phenyl	Н	3,4-Cl ₂ -Ph
II-28	CH ₃	4-cyano-phenyl	Н	4-F-Ph
П-29	CH ₃	3-fluoro-phenyl	H	4-OMe-phenyl
N-30	CH ₃	4-fluoro-phenyl	Н	2,5-Cl ₂ -Ph [[Ph]]
П-31	CH ₃	4-COCH ₃ -phenyl	H	2,4-F ₂ -Ph
П-32	CH ₃	4-CONH ₂ -phenyl	H	4-NO ₂ -Ph
П-33	CH ₃	4-SCH ₃ -phenyl	н	3,5-Cl ₂ -Ph
II-34	CH ₃	3-OCH ₃ -phenyl	Н	3-Cl-Ph
П-35	CH ₃	3,4,5-trimethoxy-phenyl	Н	4-OMe-phenyl
II-36	CH ₃	4-CH ₃ -phenyl	Н	3-OBn-Ph
II-37	CH ₃	cyclohexyl	H	4-OMe-phenyl
П-38	CH ₃	cyclohexyl	H	4-OMe-phenyl
II-39	CH ₃	cyclohexyl	H	4-Cl-Ph
П-40	CH ₃	cyclohexyl	H	3,4-Cl ₂ -Ph
П-41	CH ₃	cyclohexyl	H	4-F-Ph
П-42	CH₃	cyclohexyl	H	4-OMe-phenyl

Mark Ledeboer et al.

Application No.:

No.	G	R ¹	\mathbb{R}^2	\mathbb{R}^3
II-43	CH ₃	cyclohexyl	H	2,5-Cl ₂ -Ph
П-44	CH ₃	cyclohexyl	H	2,4-F ₂ -Ph
II-45	CH ₃	cyclohexyl	Н	4-NO ₂ -Ph
II-46	CH ₃	cyclohexyl	H	3,5-Cl ₂ -Ph
II-47	CH ₃	cyclohexyl	H	3-Cl-Ph
II -4 8	CH₃	cyclohexyl	H	4-OMe-phenyl
Π-49	CH ₃	cyclohexyl	H	3-OBn-Ph
II-50	CH ₃	cyclohexyl	Н.	-CH ₂ Ph
П-51	CH ₃	cyclohexyl	H	Ph
II-52	CH ₃	Phenyl	H	4-OMe-phenyl
Ц-53	H	4-methoxy-phenyl	H	4-OMe-phenyl
II-54	H	3,4-dimethoxy-phenyl	H	4-Cl-Ph
II-55	H	3,5-dimethoxy-phenyl	H	3,4-Cl ₂ -Ph
II-56	Ħ	4-cyano-phenyl	Н	4-F-Ph
II-57	H	3-fluoro-phenyl	H	4-OMe-phenyl
II-58	H	4-fluoro-phenyl	H	2,5-Cl ₂ -PhPh
II-59	H	4-COCH ₃ -phenyl	H	2,4-F ₂ -Ph
П-60	H	4-CONH ₂ -phenyl	H	4-NO ₂ -Ph
П-61	H	4-SCH ₃ -phenyl	H	3,5-Cl ₂ -Ph
II-62	Ħ	3-OCH ₃ -phenyl	H	3-Cl-Ph
II-63	H	3,4,5-trimethoxy-phenyl	H	4-OMe-phenyl
II-64	H	4-CH ₃ -phenyl	H	3-OBn-Ph
II-65	H	cyclohexyl	Н	benzyl
П-66	H	cyclohexyl	Н	4-OMe-phenyl
II-67	H	cyclohexyl	Н	phenyl
II-68	H	cyclohexyl	Н	3,4-Cl ₂ -Ph
П-69	H	cyclohexyl	H	2,4-Cl ₂ -Ph
II-70	H	cyclohexyl	H	4-OMe-phenyl
M-71	H	cyclohexyl	H	2,5-Cl ₂ -Ph
II-72	н	cyclohexyl	H	2,4-F ₂ -Ph
П-73	H	cyclohexyl	Н	4-NO ₂ -Ph
П-74	H	cyclohexyl	Н	3,5-Cl ₂ -Ph
II-75	H	cyclohexyl	H	3-Cl-Ph
Д-76	H	Phenyl	Н	4-OMe-phenyl
Д-78	CH ₃	4-methoxy-phenyl	Н	-CH ₂ Ph
II-79	CH ₃	3,4-dimethoxy-phenyl	H	-CH ₂ Ph
П-80	CH ₃	3,5-dimethoxy-phenyl	H	-CH ₂ Ph
П-81	CH ₃	4-cyano-phenyl	Н	-CH ₂ Ph
II-82	CH ₃	3-fluoro-phenyl	H	-CH ₂ Ph
II-83	CH ₃	3,4,5-trimethoxy-phenyl	Н	-CH ₂ Ph
П-84	CH ₃	3-pyridyl	Н	Ph
II-85	CH ₃	4-methoxy-pyrid-3-yl	Н	Ph

Mark Ledeboer et al.

Application No.: 10/005,133

No.	G	\mathbb{R}^{1}	R ²	R ³
П-86	CH ₃	2-naphthyl	H ′	Ph
II-87	CH ₃	Isoquinolin-4-yl	Н	Ph
П-88	CH ₃	6-methoxy-naphthalen-2-yl	Н	Ph
Ц-89	CH ₃	Indan-1-on-5-yl	Н	Ph
II-90	CH ₃	2-methyl-quinolin-6-yl	H	Ph
II-91	CH ₃	4-methoxy-phenyl	CH ₃	Ph
II-92	CH ₃	3,4-dimethoxy-phenyl	CH ₃	Ph
П-93	CH ₃	3,5-dimethoxy-phenyl	CH ₃	4-OMe-phenyl
Π-94	CH ₃	cyclohexyl	CH ₃	4-QMe-phenyl
П-95	CH ₃	cyclohexyl	CH ₃	4-Cl-phenyl
II-96	CH ₃	cyclohexyl	CH ₃	Ph
II-97	CH ₃	4-methoxy-phenyl	CH ₃	-CH ₂ Ph
II-98	CH ₃	2-methyl-quinolin-6-yl	CH ₃	-CH ₂ Ph
II-99	CH ₃	2-methyl-quinolin-6-yl	CH ₃	-CH ₂ Ph
Π-100	H	4-F-phenyl	CH ₃	Ph
П-101	H	4-Cl-phenyl	CH ₃	Ph
II-102	H	4-NO ₂ -phenyl	CH ₃	Ph
II-103	H	cyclohexyl	CH ₃	2,6-difluoro-phenyl
II-104	H	cyclohexyl	CH ₃	3,5-dichloro-phenyl
II-105	H	cyclohexyl	CH ₃	2,4-dichloro-phenyl
Π-106	H	cyclohexyl	CH₃	Ph
II-107	H	3-CI-phenyl	CH ₃	Ph
П-108	H	3-benzyloxy-phenyl	CH₃	Ph
II-109	H	phenyl	CH ₃	2,4-difluoro-phenyl
П-110	CH ₃	3-Cl-phenyl	H	phenyl
П-111	H	phenyl	H	2,4-difluoro-phenyl
II-112	H	cyclohexyl	H	phenyl
U-113	H	3-Br-phenyl	CH ₃	phenyl
П-114	H	3-I-phenyl	CH₃	phenyl
П-115	H	2-chloropyridin-5-yl	CH₃	phenyl
П-116	H	phenyl	CH₃	pyridin-2-yl
П-117	H	4-F-phenyl	CH ₃	pyridin-2-yl
II-118	H	4-Cl-phenyi	CH ₃	pyridin-2-yl
II-119	Н	3-Cl-phenyl	CH ₃	pyridin-2-yl
П-120	H	4-NO ₂ -phenyl	CH ₃	pyridin-2-yl
П-121	H	3-(benzyloxy)-phenyl	CH₃	pyridin-2-yl
П-122	H	2,6-difluorophenyl	CH ₃	phenyl
П-123	Н	phenyl	CH ₃	3-Cl-phenyl
П-124	H	4-F-phenyl	CH ₃	3-Cl-phenyl
II-125	H	4-Cl-phenyl	CH₃	3-Cl-phenyl
П-126	H	3-Cl-phenyl	CH₃	3-Cl-phenyl
II-127	H	4-NO ₂ -phenyl	CH ₃	3-Cl-phenyl

Mark Ledeboer et al.

Application No.:

10/005,133

No.	G	\mathbb{R}^1	R ²	\mathbb{R}^3
II-128	H	3-(benzyloxy)-phenyl	CH ₃	3-Cl-phenyl
П-129	H	naphthalen-2-yl	CH ₃	phenyl
П-130	H	3,4-dimethoxyphenyl	CH ₃	phenyl
П-131	H	phenyl	СН₃	6-CH ₃ -4-CF ₃ - pyridin2-yl
П-132	H	4-F-phenyl	CH ₃	6-CH ₃ -4-CF ₃ - pyridin2-yl
Π-133	H	4-Cl-phenyl	СН₃	6-CH ₃ -4-CF ₃ -pyridin2-yl
11-134	H	3-Cl-phenyl	СН₃	6-CH ₃ -4-CF ₃ - pyridin2-yl
N-135	Н	4-NO ₂ -phenyl	CH ₃	6-CH ₃ -4-CF ₃ - pyridin2-yI
П-136	H	3-(benzyloxy)-phenyl	CH ₃	6-CH ₃ -4-CF ₃ - pyridin2-yl
11-137	Н	3-F-phenyl	CH ₃	pyridin-2-yl
П-138	H	3-chloro-4-methoxyphenyl	CH₃	pyridin-2-yl
П-139	H	naphthalen-2-yl	CH₃	pyridin-2-yl
П-140	H	benzimidazol-2-yl	CH ₃	pyridin-2-yl

7-16. (Canceled)

17. (Previously added) A composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier or diluent.

18-19. (Canceled)

- 20. (Currently amended) The compound of claim 1, wherein [[:]] R^3 is an optionally substituted anylor arallyl.
- 21. (Previously added) The compound of claim 1, wherein R^3 is an optionally substituted phenyl or benzyl.